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=> b reg
FILE 'REGISTRY' ENTERED AT 16:55:02 ON 07 JAN 2008
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STRUCTURE FILE UPDATES:    6 JAN 2008  HIGHEST RN 960045-19-6
DICTIONARY FILE UPDATES:   6 JAN 2008  HIGHEST RN 960045-19-6

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TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

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  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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L1              STR
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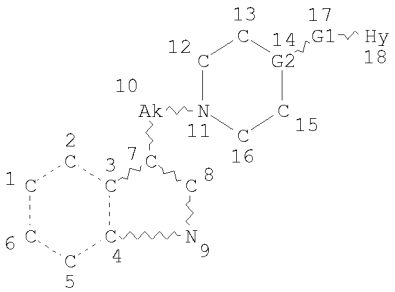


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VAR G2=C/N
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GGCAT   IS PCY  UNS  AT  18
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS E1 O  AT  18

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  18

STEREO ATTRIBUTES: NONE
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L5          150 SEA FILE=REGISTRY SUB=L3 SSS FUL L1

100.0% PROCESSED    3308 ITERATIONS                          150 ANSWERS
SEARCH TIME: 00.00.01



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STRUCTURE FILE UPDATES:    6 JAN 2008  HIGHEST RN 960045-19-6
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DICTIONARY FILE UPDATES: 6 JAN 2008 HIGHEST RN 960045-19-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> b hcap
FILE 'HCAPLUS' ENTERED AT 16:55:22 ON 07 JAN 2008
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FILE COVERS 1907 - 7 Jan 2008 VOL 148 ISS 2
FILE LAST UPDATED: 6 Jan 2008 (20080106/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

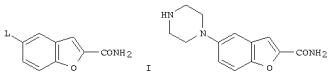
=> d bib abs hitstr l28 tot

L28 ANSWER 1 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:1154936 HCAPLUS
DN 145:471564
TI Method for the production of 5-[4-[4-(5-cyano-3-indolyl)butyl]-1-piperazinyl]benzofuran-2-carboxamide
IN Bathe, Andreas
PA Merck Patent G.m.b.H., Germany
SO PCT Int. Appl., 12pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO2006114202	A1	20061102	2006WO-EP03344	20060412
DE102005019670	A1	20061102	DE 2005-102005019670	20050426

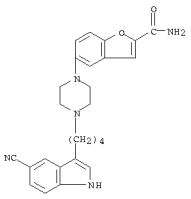
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI DE 2005-102005019670 A 20050426
OS CASREACT 145:471564
GI



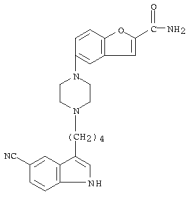
AB 5-[4-[4-(5-Cyano-3-indolyl)butyl]-1-piperazinyl]benzofuran-2-carboxamide and/or a physiol. acceptable salt is prepared by the reaction of benzofurancarboxamides (I; L = Cl, Br, I, SO2F, SO2CF3, SO2C2F5) with 3-(4-piperazin-1-ylbutyl)indole-5-carbonitrile in the presence of a Pd-catalyzed coupling using Pd complexes, and/or the formed 5-[4-[4-(5-cyano-3-indolyl)butyl]-1-piperazinyl]benzofuran-2-carboxamide is converted into an acid-addition salt by treatment with an acid, or by a second method in which a benzofuran-2-carboxamide (II) or an HX addition salt (X= Cl, Br) is reductively aminated with 3-(4-oxo-butyl)-1H-indol-5-carbonitrile, and/or 5-[4-[4-(5-cyano-3-indolyl)-butyl]-1-piperazinyl]benzofuran-2-carboxamide is converted into an acid-addition salt by treatment with an acid.
IT 163521-12-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(method for the production of 5-[4-[4-(5-cyano-3-indolyl)butyl]-1-piperazinyl]benzofuran-2-carboxamide)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

L28 ANSWER 1 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



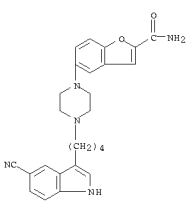
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 2 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:578527 HCAPLUS
DN 143:126601
TI Effect of vilazodone on 5-HT efflux and re-uptake in the guinea-pig dorsal raphe nucleus
AU Roberts, Claire; Hagan, Jim J.; Bartoszyk, Gerd D.; Kew, James N. C.
CS Psychiatry CEDD, GlaxoSmithKline, Harlow, Essex, CM19 5AW, UK
SO European Journal of Pharmacology (2005), 517(1-2), 59-63
CODEN: EJPHAZ; ISSN: 0014-2999
PB Elsevier B.V.
DT Journal
LA English
AB The effect of vilazodone, a putative selective serotonin re-uptake inhibitor (SSRI) with 5-HT (5-hydroxytryptamine)1A receptor partial agonist activity, was investigated on 5-HT efflux and 5-HT re-uptake half life in the guinea-pig dorsal raphe nucleus, using in vitro fast cyclic voltammetry. The SSRI, fluoxetine, significantly increased 5-HT efflux. In contrast, vilazodone had no effect on 5-HT efflux at 100 nM but significantly decreased 5-HT efflux at 1 μM. Co-perfusion of 8-OH-DPAT (± 8-hydroxy-2-(di-n-propylamino)tetralin) with fluoxetine significantly attenuated the fluoxetine-induced increase in 5-HT efflux. Co-perfusion of WAY 100635 with vilazodone did not attenuate the effect of vilazodone alone. In addition, the re-uptake half life for 5-HT was significantly increased by both fluoxetine and vilazodone. In conclusion, we have demonstrated that vilazodone (100 nM, 1 μM), in the guinea-pig dorsal raphe nucleus, blocks the serotonin transporter but does not display 5-HT1A receptor agonism.
IT 163521-12-8, Vilazodone
RL: PAC (Pharmacological activity); BIOL (Biological study)
(effect of vilazodone on 5-HT efflux and re-uptake in the guinea-pig dorsal raphe nucleus)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 3 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:184699 HCAPLUS
DN 142:329682
TI Neurochemical evaluation of the novel 5-HT1A receptor partial agonist/serotonin reuptake inhibitor, vilazodone
AU Hughes, Zoe A.; Starr, Kathryn R.; Langmead, Christopher J.; Hill, Matthew; Bartoszyk, Gerd D.; Hagan, James J.; Middlemiss, Derek N.; Dawson, Lee A.
CS Psychiatry CEDD, Glaxo Smith Kline, Neuropharmacology Research, Essex, CM19 5AW, UK
SO European Journal of Pharmacology (2005), 510(1-2), 49-57
CODEN: EJPHAZ; ISSN: 0014-2999
PB Elsevier B.V.
DT Journal
LA English
AB Vilazodone has been reported to be an inhibitor of 5-hydroxytryptamine (5-HT) reuptake and a partial agonist at 5-HT1A receptors. Using [35S]GTPγS binding in rat hippocampal tissue, vilazodone was demonstrated to have an intrinsic activity comparable to the 5-HT1A receptor agonist 8-hydroxy-2-(di-n-propylamino)tetralin (8-OH-DPAT). Vilazodone (1-10 mg/kg p.o.) dose-dependently displaced in vivo [3H]DASB (N,N-dimethyl-2-(2-amino-4-cyanophenylthio)benzylamine) binding from rat cortex and hippocampus, indicating that vilazodone occupies 5-HT transporters in vivo. Using in vivo microdialysis, vilazodone (10 mg/kg p.o.) was demonstrated to cause a 2-fold increase in extracellular 5-HT but no change in noradrenaline or dopamine levels in frontal cortex of freely moving rats. In contrast, administration of 8-OH-DPAT (0.3 mg/kg s.c.), either alone or in combination with a serotonin specific reuptake inhibitor (SSRI; paroxetine, 3 mg/kg p.o.), produced no increase in cortical 5-HT while increasing noradrenaline and dopamine 2 and 4 fold, resp. A 2-fold increase in extracellular 5-HT levels (but no change in noradrenaline or dopamine levels) was observed after combination of the 5-HT1A receptor antagonist, N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-N-(pyridinyl)cyclohexanecarboxamide (WAY-100635; 0.3 mg/kg s.c.) and paroxetine (3 mg/kg p.o.). In summary, vilazodone behaved as a high efficacy partial agonist at the rat hippocampal 5-HT1A receptors in vitro and occupied 5-HT transporters in vivo. In vivo vilazodone induced a selective increase in extracellular levels of 5-HT in the rat frontal cortex. This profile was similar to that seen with a 5-HT1A receptor antagonist plus an SSRI but in contrast to 8-OH-DPAT either alone or in combination with paroxetine.
IT 163521-12-8, Vilazodone
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(neurochem. evaluation of novel 5-HT1A receptor partial agonist and serotonin reuptake inhibitor vilazodone)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 4 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:1154699 HCAPLUS
DN 142:93856
TI Preparation of indolylbutylpiperazinylbenzofurancarboxamides as serotonin receptor ligands and/or serotonin reuptake inhibitors
IN Heinrich, Timo; Boettcher, Henning; Schiemann, Kai; Hoelzemann, Guenter; Van Amsterdam, Christoph; Bartoszyk, Gerd; Leibrock, Joachim; Seyfried, Christoph
PA Merck Patent GmbH, Germany
SO PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2004113326	A1	20041229	2004WO-EP05547	20040524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE--10326939	A1	20050105	2003DE-1026939	20030616
AU2004249372	A1	20041229	2004AU-0249372	20040524
CA---2529299	A1	20041229	2004CA-2529299	20040524
EP---1633741	A1	20060315	2004EP-0734515	20040524
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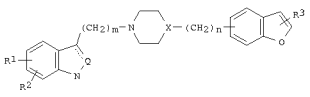
CN---1805953	A	20060719	CN 2004-80016700	20040524
BR2004011533	A	20060801	2004BR-0011533	20040524
JP2006527707	T	20061207	2006JP-0515787	20040524
MX2005PA13538	A	20060309	2005MX-PA13538	20051213
US2007099933	A1	20070503	2005US-0560734	20051215 <--
PRAI 2003DE-1026939	A	20030616		
2004WO-EP05547	W	20040524		

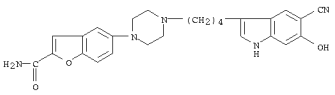
OS MARPAT 142:93856
GI



I

AB Title compds. [I; X = N, CH; R1-R3 = OH, OA, cyano, halo, COR4, CH2R4; R4 = OH, OA, NH2, NHB, NB2; Q = CH2, CO, CH; A, B = alkyl, alkoxy, alkenyl, alkoxyalkyl; m = 2-6; n = 0-4; dotted line = optional double bond], were prepared Thus, 5-[4-[4-(5-cyano-3-indolyl)butyl]-1-piperazinyl]benzofuran-2-carboxamide in Me2SO was treated dropwise with concentrate HCl under ice cooling followed by stirring for 10 h to give 5-[4-[4-(5-cyano-2-oxo-2,3-dihydro-1H-indol-3-yl)butyl]-1-piperazinyl]benzofuran-2-carboxamide as the dihydrochloride. The latter showed 5-HT1A receptor binding activity with IC50 = 1.7 nM and serotonin reuptake inhibitor activity with IC50 = 2.9 nM. I are useful as anxiolytics, antidepressants, neuroleptics, antihypertensives and/or for pos. influencing obsessive-compulsive behavior, sleeping disorders, tardive dyskinesia, learning disorders, age-related memory defects, eating disorders such as bulimia, and/or sexual dysfunction.
IT 714950-70-6P 816438-30-9P 816438-33-2P

L28 ANSWER 4 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
816438-35-4P 816438-37-6P 816438-39-8P
816438-41-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indolylbutylpiperazinylbenzofurancarboxamides as serotonin receptor ligands or reuptake inhibitors)
RN 714950-70-6 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-6-hydroxy-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



RN 816438-30-9 HCAPLUS
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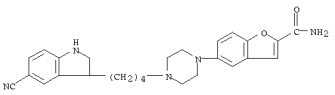
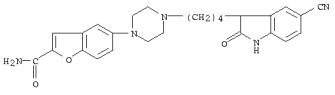
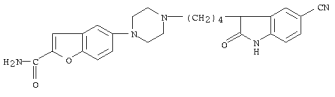


RN 816438-33-2 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-2,3-dihydro-2-oxo-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



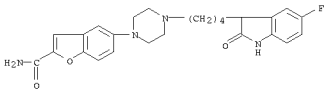
RN 816438-35-4 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-2,3-dihydro-2-oxo-1H-indol-3-yl)butyl]-1-piperazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

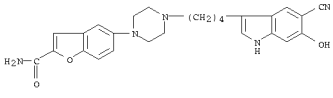
L28 ANSWER 4 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 816438-37-6 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-fluoro-2,3-dihydro-2-oxo-1H-indol-3-yl)butyl]-1-piperazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 816438-39-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-6-hydroxy-1H-indol-3-yl)butyl]-1-piperazinyl]-, hydrochloride (9CI) (CA INDEX NAME)



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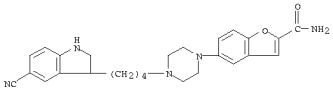
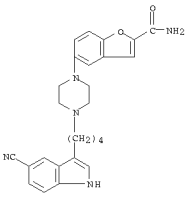
RN 816438-41-2 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-2,3-dihydro-1H-indol-3-yl)butyl]-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



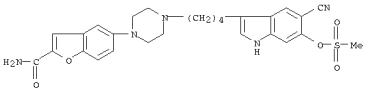
● HCl

IT 163521-12-8 714950-88-6 765935-80-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of indolylbutylpiperazinylbenzofurancarboxamides as serotonin receptor ligands or reuptake inhibitors)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

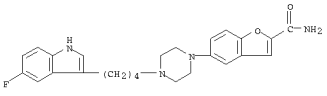
L28 ANSWER 4 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 714950-88-6 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-6-[(methylsulfonyl)oxy]-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



RN 765935-80-6 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-fluoro-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

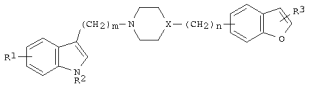


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 5 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:1154698 HCAPLUS
DN 142:93855
TI Preparation of indolylbutylpiperazinylbenzofurancarboxamides as serotonin reuptake inhibitors and/or serotonin receptor ligands.
IN Heinrich, Timo; Boettcher, Henning; Schiemann, Kai; Hoelzemann, Guenter; Van Amsterdam, Christoph; Bartoszyk, Gerd; Leibrock, Joachim; Seyfried, Christoph
PA Merck Patent GmbH, Germany; Van Amsterdam, Christoph
SO PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2004113325	A1	20041229	2004WO-EP05546	20040524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE--10326940	A1	20050105	2003DE-1026940	20030616
AU2004249371	A1	20041229	2004AU-0249371	20040524
CA---2529298	A1	20041229	2004CA-2529298	20040524
EP---1633742	A1	20060315	2004EP-0734520	20040524
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
BR2004011456	A	20060718	2004BR-0011456	20040524
CN---1805954	A	20060719	CN 2004-80016748	20040524
JP2006527706	T	20061207	2006JP-0515786	20040524
MX2005PA13537	A	20060309	2005MX-PA13537	20051213
US2006160824	A1	20060720	2005US-0560737	20051215 <--
PRAI 2003DE-1026940	A	20030616		
2004WO-EP05546	W	20040524		

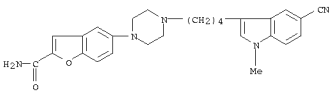
OS MARPAT 142:93855
GI



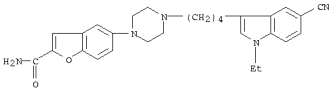
I

AB Title compds. [I; X = N, CH; R1, R3 = H, OH, OA, cyano, halo, COR4, CH2R4; R2 = H, (halo-substituted) alkyl, alkylaryl, alkylheteroaryl, heteroaryl; R4 = OH, OA, NH2, NHB, NB2; A, B = alkyl; m = 2-6; n = 0-4], were prepared Thus, 3-(4-chlorobutyl)-1H-indole-5-carbonitrile in THF was added to NaH in THF followed by stirring for 30 min., addition of MeI in THF, and stirring for 30 min. at room temperature to give N-methylated product, which was heated with 5-(piperazin-1-yl)benzofuran-2-carboxamide and Et3N in N-methylpyrrolidine at 120° for 4 h to give 5-[4-(5-cyano-1-methyl-1H-indol-3-yl)butyl]piperazin-1-yl]benzofuran-2-carboxamide. The latter showed serotonin reuptake inhibitory activity with IC50 = 2.6 nM. I are useful as anxiolytics, antidepressants, neuroleptics, antihypertensives, and/or for pos. influencing obsessive compulsive disorders, sleep disorders, tardive dyskinesia, learning disorders, geriatric memory loss, bulimia, irritable bowel syndrome, and sexual dysfunction.

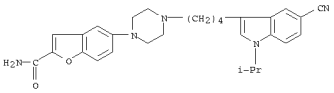
IT 816429-14-8P 816429-15-9P 816429-16-0P 816429-17-1P 816429-18-2P 816429-19-3P 816429-20-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of indolylbutylpiperazinylbenzofurancarboxamides as serotonin reuptake inhibitors and/or serotonin receptor ligands)
RN 816429-14-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1-methyl-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



RN 816429-15-9 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1-ethyl-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



RN 816429-16-0 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-[5-cyano-1-(1-methylethyl)-1H-indol-3-yl]butyl]-1-piperazinyl]- (CA INDEX NAME)



RN 816429-17-1 HCAPLUS
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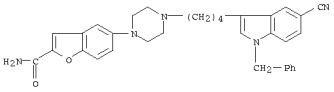
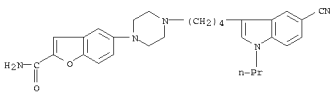
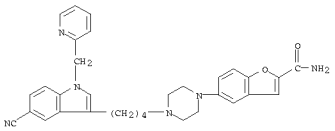


RN 816429-18-2 HCAPLUS

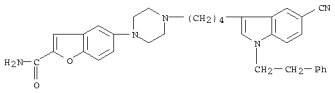
L28 ANSWER 5 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1-propyl-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



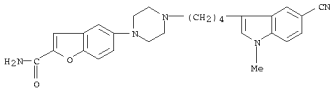
RN 816429-19-3 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-[5-cyano-1-(2-pyridinylmethyl)-1H-indol-3-yl]butyl]-1-piperazinyl]- (CA INDEX NAME)



RN 816429-20-6 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-[5-cyano-1-(2-phenylethyl)-1H-indol-3-yl]butyl]-1-piperazinyl]- (CA INDEX NAME)



IT 816429-21-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolylbutylpiperazinylbenzofurancarboxamides as serotonin reuptake inhibitors and/or serotonin receptor ligands)
RN 816429-21-7 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1-methyl-1H-indol-3-yl)butyl]-1-piperazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

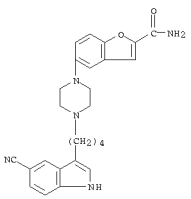


●2 HCl

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

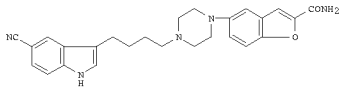
L28 ANSWER 5 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L28 ANSWER 6 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:892421 HCAPLUS
DN 141:360593
TI Effects of systemic injections of Vilazodone, a selective serotonin reuptake inhibitor and serotonin 1A receptor agonist, on anxiety induced by predator stress in rats
AU Adamec, Robert; Bartoszyk, Gerd D.; Burton, Paul
CS Department of Psychology, Memorial University, St. John's, A1B 3X9, Can.
SO European Journal of Pharmacology (2004), 504(1-2), 65-77
CODEN: EJPHAZ; ISSN: 0014-2999
PB Elsevier B.V.
DT Journal
LA English
AB We examined the effect of Vilazodone, a selective serotonin reuptake inhibitor (SSRI) and serotonin 1A (5-HT1A) receptor agonist [Bartoszyk, G.D., Hegenbart, R., Ziegler, H., 1997. EMD 68843, a serotonin reuptake inhibitor with selective presynaptic 5-HT1A receptor agonistic properties. Eur. J. Pharmacol. 322, 147-153], on change in affect following predator stress. Vilazodone and vehicle injection (i.p.) occurred either 10 min after predator stress (prophylactic testing), or 90 min prior to behavioral testing for the effects of predator stress (therapeutic testing). Predator stress involved unprotected exposure of rats to a domestic cat. Behavioral effects of stress were evaluated with hole board, plus-maze, and acoustic startle tests 1 wk after stress. Predator stress increased anxiety-like behavior in the plus-maze and elevated response to acoustic startle. In prophylactic testing, Vilazodone affected stress potentiation of startle at doses above 5 mg/kg. Vilazodone increased stress elevation of startle at 10 mg/kg. Higher doses of Vilazodone (20 and 40 mg/kg) blocked stress potentiation of startle. In contrast, Vilazodone had no effect on stress potentiation of anxiety in the plus-maze. In therapeutic testing, Vilazodone increased stress elevation of startle at all doses. In contrast, therapeutic Vilazodone had no effect on stress potentiation of anxiety in the plus-maze. Taken together, the data suggest a prophylactic potential for Vilazodone in the treatment of changes in hypervigilance following severe stress.
IT 163521-12-8, Vilazodone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effects of SSRI and serotonin 1A receptor agonist, Vilazodone,. on anxiety induced by predator stress in rats)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

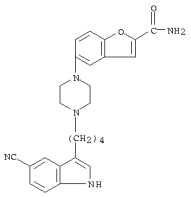
L28 ANSWER 7 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:641081 HCAPLUS
DN 141:314299
TI Synthesis and Structure-Activity Relationship in a Class of Indolebutylpiperazines as Dual 5-HT1A Receptor Agonists and Serotonin Reuptake Inhibitors
AU Heinrich, Timo; Boettcher, Henning; Gericke, Rolf; Bartoszyk, Gerd D.; Anzali, Soheila; Seyfried, Christoph A.; Greiner, Hartmut E.; van Amsterdam, Christoph
CS Preclinical Pharmaceutical Research, Merck KGaA, Darmstadt, 64293, Germany
SO Journal of Medicinal Chemistry (2004), 47(19), 4684-4692
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 141:314299
GI



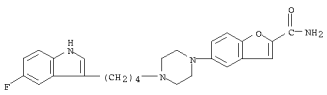
I

AB Systematic structural modifications of [(indolyl)alkyl](phenyl)piperazines led to improved selectivity and affinity within this class of 5-HT1A receptor agonists. Introduction of electron-withdrawing groups in position 5 on the indole group raises serotonin transporter affinity, and the cyano group proved to be the best substituent here. 5-Fluoro and 5-cyano substituted indoles show comparable results in in vitro and in vivo tests, and bioisosterism between these substituents was supported by calcn. of the mol. electrostatic potentials and dipole moments. Compds. showing promising in vitro data were further examined in ex vivo (p-chloroamphetamine assay) and in vivo (ultrasonic vocalization) tests. Optimization of the arylpiperazine moiety indicated that the 5-benzofuranyl-2-carboxamide was best suited to increase 5-HT transporter and 5-HT1A receptor affinity and to suppress D2 receptor binding. 5-[4-[4-(5-Cyano-3-indolyl)butyl]-1-piperazinyl]-2-benzofurancarboxamide (I; vilazodone, EMD 68843) was identified as a highly selective 5-HT1A receptor agonist [GTPγS, ED50 = 1.1 nM] with subnanomolar 5-HT1A affinity [IC50 = 0.2 nM] and as a subnanomolar 5-HT re-uptake inhibitor [RUI = 0.5 nM] showing a great selectivity to other GPCRs (e.g., D2, IC50 = 666 nM). I is a promising candidate for further investigation in the treatment of mood disorders (no data).
IT 163521-12-8P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of [[(cyanoindolyl)butyl]piperazinyl]benzofurancarboxamide derivative and study of its activity as 5-HT1A receptor agonist and serotonin re-uptake inhibitor)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

L28 ANSWER 7 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

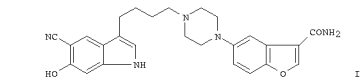


IT 765935-80-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of [[(indolyl)butyl]piperazinyl]benzofurancarboxamide derivative and study of its activity as 5-HT1A receptor agonist and serotonin re-uptake inhibitor)
RN 765935-80-6 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-fluoro-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



RE.CNT 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 8 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:346288 HCAPLUS
DN 141:88987
TI A new synthesis of indole 5-carboxylic acids and 6-hydroxy-indole-5-carboxylic acids in the preparation of an o-hydroxylated metabolite of vilazodone
AU Heinrich, Timo; Boettcher, Henning
CS Preclinical Pharmaceutical Research, Merck KGaA, Darmstadt, 64293, Germany
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(10), 2681-2684
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
OS CASREACT 141:88987
GI



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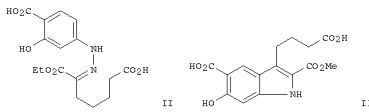
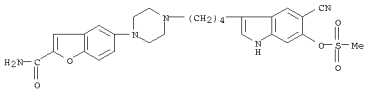


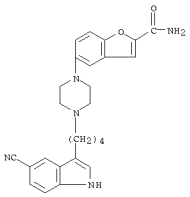
II III

AB A major metabolite of the potential antidepressant vilazodone formed in rat, dog, monkey and human liver microsomes is 5-[4-[4-(5-cyano-6-hydroxy-1H-indol-3-yl)butyl]-1-piperazinyl]-2-benzofurancarboxamide (I). For the construction of the salicyl-like substituted indole a synthesis of carmoxirole was adapted using Japp-Klingemann-type Fischer-indole synthesis protocols. The reaction of 4-amino-2-hydroxybenzoic acid with 2-oxocyclohexanecarboxylic acid Et ester gave 4-[[5-carboxy-1-(ethoxycarbonyl)pentylidene]hydrazino]-2-hydroxybenzoic acid (II). The Japp-Klingemann reaction of II gave a 6:1 mixture of 5-carboxy-6-hydroxy-2-(methoxycarbonyl)-1H-indole-3-butanoic acid (III) and its 4-hydroxy isomer, 5-carboxy-4-hydroxy-2-(methoxycarbonyl)-1H-indole-3-butanoic acid. Functional group interconversion of carboxylic acid via carboxamide into cyanide was performed for III. The synthesis of carmoxirole [i.e., 3-[4-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)butyl]-1H-indole-5-carboxylic acid] was also reported using this Japp-Klingemann-type Fischer-indole synthesis protocol.
IT 714950-88-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of vilazodone metabolite via Japp-Klingemann-type Fischer indole synthesis of 2,5-dicarboxy-6-hydroxy-1H-indole-3-butanoate from [(carboxy(ethoxycarbonyl)pentylidene)hydrazino](hydroxy)benzoate intermediate)
RN 714950-88-6 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-6-[(methylsulfonyl)oxy]-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

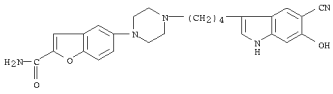
L28 ANSWER 8 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 163521-12-8DP, Vilazodone, metabolites
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of vilazodone metabolite via Japp-Klingemann-type Fischer indole synthesis of 2,5-dicarboxy-6-hydroxy-1H-indole-3-butanoate from {[carboxy(ethoxycarbonyl)pentylidene]hydrazino}(hydroxy)benzoate intermediate)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



IT 714950-70-6P, 5-[4-[4-(5-Cyano-6-hydroxy-1H-indol-3-yl)butyl]-1-piperazinyl]-2-benzofurancarboxamide
RL: SPN (Synthetic preparation); PREP (Preparation)
(vilazodone metabolite; preparation of vilazodone metabolite via Japp-Klingemann-type Fischer indole synthesis of 2,5-dicarboxy-6-hydroxy-1H-indole-3-butanoate from {[carboxy(ethoxycarbonyl)pentylidene]hydrazino}(hydroxy)benzoate intermediate)
RN 714950-70-6 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-6-hydroxy-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 9 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:977808 HCAPLUS
DN 138:44671
TI Polymorphic forms of 1-'4-(5-cyanoindol-3-yl)butyl-4-(2-carbamoylbenzofuran-5-yl)piperazine hydrochloride
IN Bathe, Andreas; Helfert, Bernd; Neuenfeld, Steffen; Kniel, Heike; Bartels, Matthias; Rudolph, Susanne; Boettcher, Henning
PA Merck Patent G.m.b.H., Germany
SO PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2002102794	A2	20021227	2002WO-EP06153	20020605
WO2002102794	A3	20030220		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA---2451028	A1	20021227	2002CA-2451028	20020605
AU2002320822	A1	20030102	2002AU-0320822	20020605
AU2002320822	B2	20071115		
EP---1397357	A2	20040317	2002EP-0754627	20020605
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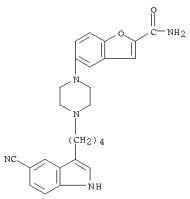
EE-2004000019	A	20040415	2004EE-0000019	20020605
HU2004000236	A2	20040628	2004HU-0000236	20020605
CN---1516699	A	20040728	2002CN-0812226	20020605
BR2002010495	A	20040817	2002BR-0010495	20020605
JP2004534803	T	20041118	2003JP-0506267	20020605
NZ----530642	A	20060929	2002NZ-0530642	20020605
RU---2303598	C2	20070727	2004RU-0100824	20020605
MX2003PA11723	A	20040319	2003MX-PA11723	20031216
US2004147528	A1	20040729	2003US-0481270	20031219
IN2004KN00031	A	20060407	2004IN-KN00031	20040109
ZA2004000329	A	20050415	2004ZA-0000329	20040115
PRAI 2001EP-0113647	A	20010619		
2002WO-EP06153	W	20020605		

AB The invention relates to new crystalline modifications of the hydrochloride salt of 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)-piperazine, crystalline modification of the dihydrochloride of 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoylbenzofuran-5-yl]piperazine and amorphous 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)piperazine-HCl (I) which are suitable in particular for the preparation of solid pharmaceuticals for the treatment or prevention of depressive disorders, anxiety disorders, bipolar disorders, mania, dementia, substance-related disorders, sexual dysfunctions, eating disorders, obesity, fibromyalgia, sleeping disorders, psychiatric disorders, cerebral infarction, tension, for the therapy of side-effects in the treatment of hypogonadism, secondary amenorrhea, premenstrual syndrome and undesired puerperal lactation. Thus, to a solution of 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoylbenzofuran-5-yl)piperazine in THF was added HCl. The I hydrate obtained was dried at 85-90° to give I which was characterized by spectral properties.
IT 163521-12-8
RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(preparation of polymorphic forms of (cyanoindolyl)butylcarbamoylbenzofuranyl)piperazine hydrochloride)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

L28 ANSWER 9 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

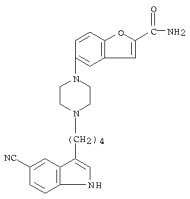


L28 ANSWER 10 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:391537 HCAPLUS
DN 136:380124
TI Veterinary use of combined 5-HT1a agonists and serotonin reuptake inhibitors for the treatment of traumatic and compulsive disorders associated with behavioral stressors
IN Bartoszyk, Gerd
PA Merck Patent Gmbh, Germany
SO PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2002040024	A1	20020523	2001WO-EP11952	20011016
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA---2428511	A1	20020523	2001CA-2428511	20011016
AU-200215027	A	20020527	2002AU-0015027	20011016
EP---1333832	A1	20030813	2001EP-0983555	20011016
EP---1333832	B1	20071128		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LI, LV, FI, RO, MK, CY, AL, TR				
BR2001015296	A	20030902	2001BR-0015296	20011016
HU2003002751	A2	20031128	2003HU-0002751	20011016
HU2003002751	A3	20070628		
JP2004513924	T	20040513	2002JP-0542397	20011016
RU---2288719	C2	20061210	2003RU-0115431	20011016
MX2003PA04166	A	20030922	2003MX-PA04166	20030512
NO2003002148	A	20030513	2003NO-0002148	20030513
US2004082594	A1	20040429	2003US-0416573	20030513
IN2003KN00745	A	20050204	2003IN-KN00745	20030610
ZA2003004606	A	20040913	2003ZA-0004606	20030612
HK---1060697	A1	20060707	2004HK-0103692	20040525
PRAI 2000EP-0124815	A	20001114		
2001WO-EP11952	W	20011016		

AB The invention discloses the use of combined selective serotonin (5-HT) reuptake inhibitors (SSRIs) and 5-HT1A receptor agonists, in particular 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)-piperazine, or a physiol. acceptable salt thereof, or 3-[4-(4-(4-cyano-phenyl)-piperazin-1-yl)-butyl]-1H-indole-5-carbonitrile, or a physiol. acceptable salt thereof, for the manufacture of a medicament for use in veterinary medicine for the treatment or prophylaxis of self-directed traumatic disorders associated with behavioral stressors and compulsive disorders associated with behavioral stressors.
IT 163521-12-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(veterinary use of combined 5-HT1a agonists and serotonin reuptake inhibitors for treatment of traumatic and compulsive disorders associated with behavioral stressors)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

L28 ANSWER 10 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

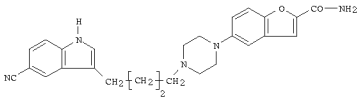


RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 11 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:391504 HCAPLUS
DN 136:380120
TI Novel use of combined 5-HT1a agonists and selective serotonin reuptake inhibitors
IN Bartoszyk, Gerd; Sedman, Ewen
PA Merck Patent Gmbh, Germany
SO PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

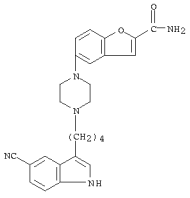
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2002039989	A1	20020523	2001WO-EP12686	20011102
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA---2429216	A1	20020523	2001CA-2429216	20011102
AU-200221803	A	20020527	2002AU-0021803	20011102
EP---1335716	A1	20030820	2001EP-0996368	20011102
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR2001015434	A	20031007	2001BR-0015434	20011102
JP2004513916	T	20040513	2002JP-0542364	20011102
HU2004000504	A2	20040628	2004HU-0000504	20011102
HU2004000504	A3	20060228		
CN---1541093	A	20041027	2001CN-0819111	20011102
AU2002221803	B2	20070215	2002AU-0221803	20011102
RU---2302243	C2	20070710	2003RU-0116893	20011102
MX2003PA04341	A	20030819	2003MX-PA04341	20030516
NO2003002248	A	20030519	2003NO-0002248	20030519
US2004014771	A1	20040122	2003US-0432047	20030519
IN2003KN00778	A	20060317	2003IN-KN00778	20030613
ZA2003004757	A	20040920	2003ZA-0004757	20030619
PRAI 2000EP-0125409	A	20001120		
2001WO-EP12686	W	20011102		

GI



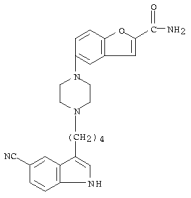
AB The present invention relates to the use of compds. being combined selective serotonin (5-HT) reuptake inhibitors (SSRIs) and 5-HT1A receptor agonists, in particular of I or a physiol. acceptable salt thereof or 3-[4-[4-(4-cyanophenyl)piperazin-1-yl]butyl]-1H-indole-5-carbonitrile or a physiol. acceptable salt thereof, for the manufacture of a medicament for the treatment of chronic pain disorders or in treating other conditions where there is hyper-sensitization to painful signals, hyperalgesia, allodynia, enhanced pain perception, and enhanced memory of pain, as well as for the treatment of irritable bowel syndrome (IBS). I-HCl reduced writhing in mice at 30 mg/kg orally by 82% in pain-relieving acute analgetic property tests.
IT 163521-12-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

L28 ANSWER 11 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
(Biological study); USES (Uses)
(combined 5-HT1a agonists and selective serotonin reuptake inhibitors as analgesics)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



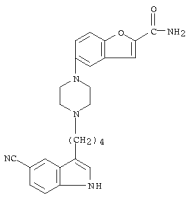
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 12 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2001:454453 HCAPLUS
DN 135:282632
TI Studies comparing in vivo:in vitro metabolism of three pharmaceutical compounds in rats, dogs, monkeys, and humans [by] using cryopreserved hepatocytes, microsomes, and collagen-gel-immobilized hepatocyte cultures
AU Hewitt, Nicola J.; Buhring, Karl-Uhlrich; Dasenbrock, Johannes; Haunschild, Jutta; Ladstetter, Bernhard; Utesch, Dietmar
CS Institute of Toxicology, Merck KGaA, Darmstadt, D-64271, Germany
SO Drug Metabolism and Disposition (2001), 29(7), 1042-1050
CODEN: DMDSAI; ISSN: 0090-9556
PB American Society for Pharmacology and Experimental Therapeutics
DT Journal
LA English
AB The in vivo metabolism of EMD68843, EMD96785, and EMD128130 was compared in fresh and cryopreserved hepatocyte (CPH) suspensions and microsomes from rat, dog, monkey, and human livers and in fresh human and rat hepatocyte collagen-gel-immobilized cultures (GICs). Half of the major in vivo metabolites were produced by phase 1 metabolism (hydroxylation, oxidation, hydrolysis, N-dealkylation) and half by phase 2 metabolism (mostly glucuronidation but also sulfation and glycine conjugation). The identities and percentages of phase 1 and 2 metabolites of each compound produced in hepatocytes compared well with those in each species in vivo. Glucuronidation was more extensive in GICs than in CPHs. In contrast, CPHs, but not GICs, produced sulfate metabolites. Microsomes (supplemented with NADPH only) produced most of the phase 1 but no phase 2 metabolites. Metabolism by CPHs was the same as that by fresh hepatocyte suspensions. Discrete species differences in metabolism were detected in CPHs and microsomes. The cytochrome P 450 and glucuronosyl S-transferase contents of CPHs did not account for the species differences in the percentage of phase 1 and 2 metabolites or the rate of disappearance of the parent compds. in these cells. These data show a good correlation between major metabolites formed in vivo and in vitro. CPHs and GICs, unlike microsomes, carried out sequential phase 1 and 2 metabolism Each in vitro system has its own advantages; however, for short-term metabolism studies CPHs may be more useful, since they are readily available, easier and quicker to prepare than GICs, and have more comprehensive enzyme systems than microsomes.
IT 163521-12-8, EMD 68843
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(in vivo vs. in vitro metabolism of EMD68843, EMD 96785, and EMD 128130 in rats, dogs, monkeys, and humans by cryopreserved hepatocytes, microsomes, and collagen-gel-immobilized hepatocyte cultures)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 13 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2001:164199 HCAPLUS
DN 135:441
TI Systemic EMD 68843 injections reduce anxiety in the shock-probe, but not the plus-maze test
AU Treit, D.; Degroot, A.; Kashluba, S.; Bartoszyk, G. D.
CS Department of Psychology, University of Alberta, Edmonton, AB, T6G 2E9, Can.
SO European Journal of Pharmacology (2001), 414(2/3), 245-248
CODEN: EJPHAZ; ISSN: 0014-2999
PB Elsevier Science B.V.
DT Journal
LA English
AB Selective serotonin (5-hydroxytryptamine; 5-HT) reuptake inhibitors and 5-HT1A receptor agonists are believed to reduce anxiety. In the present study we examined the effects of injections of 5-[4-[4-(5-cyano-3-indolyl)-butyl]-1-piperazinyl]-benzofuran-2-carboxamide hydrochloride (EMD 68843), a 5-HT1A receptor agonist and selective 5-HT reuptake inhibitor, in two animal models of anxiety, plus-maze and shock-probe. Rats received i.p. injections of vehicle, diazepam (2.5 mg/kg), or EMD 68843 (10, 20, or 40 mg/kg) 1 h prior to testing. Diazepam at the single dose tested and EMD 68843 dose-dependently (significantly at 20 and 40 mg/kg) reduced burying in shock-probe. However, only diazepam significantly increased open arm exploration in the plus-maze. Therefore, EMD 68843 has task specific anxiolytic properties.
IT 163521-12-8, EMD 68843
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(systemic EMD 68843 injections reduce anxiety in shock-probe, but not plus-maze test)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

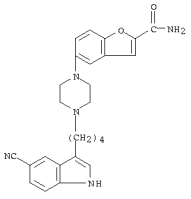


RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 14 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2000:861478 HCAPLUS
DN 134:32976
TI Novel use of cyanoindolylbutyl(carbamoylbenzofuranyl)-piperazine and its physiologically acceptable salts for treatment of anxiety and related disorders
IN Bartoszyk, Gerd; Seyfried, Christoph; Van Amsterdam, Christoph; Bottcher, Henning; Sedman, Ewen
PA Merck Patent G.m.b.H., Germany
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2000072832	A2	20001207	2000WO-EP04376	20000516
WO2000072832	A3	20011220		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
TW----5182l8	B	20030121	TW 1999-88119882	19991115
CA----2372668	A1	20001207	2000CA-2372668	20000516
EP---1185272	A2	20020313	2000EP-0935031	20000516
EP---1185272	B1	20040407		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR2000010948	A	20020423	2000BR-0010948	20000516
TR-200103361	T2	20020521	2001TR-0003361	20000516
CN---1361692	A	20020731	2000CN-0808135	20000516
HU2002001275	A2	20020828	2002HU-0001275	20000516
HU2002001275	A3	20040428		
JP2003500441	T	20030107	2000JP-0620944	20000516
AU----771778	B2	20040401	2000AU-0050663	20000516
AT----263564	T	20040415	2000AT-0935031	20000516
EP---1410800	A1	20040421	2004EP-0001441	20000516
EP---1410800	B1	20060823		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
PT---1185272	T	20040831	2000PT-0935031	20000516
RU---2237477	C2	20041010	2001RU-0133342	20000516
ES---2219342	T3	20041201	2000ES-0935031	20000516
US---6900212	B1	20050531	2001US-0979922	20000516
CZ----295623	B6	20050914	2001CZ-0004226	20000516
CN---1679577	A	20051012	CN 2005-10054417	20000516
AT----337008	T	20060915	2004AT-0001441	20000516
EP---1736158	A2	20061227	2006EP-0017231	20000516
EP---1736158	A3	20070103		
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, LT, LV, RO, SI				
ES---2271707	T3	20070416	2004ES-4001441	20000516
NO2001005746	A	20011126	2001NO-0005746	20011126
NO----322120	B1	20060814		
MX2001PA12172	A	20020722	2001MX-PA12172	20011127
ZA2001010485	A	20030630	2001ZA-0010485	20011220
IN2001KN01351	A	20050311	2001IN-KN01351	20011221
HK---1048444	A1	20051209	2003HK-0100617	20030123
US2005113386	A1	20050526	2004US-0994226	20041123
NO2006001562	A	20011126	2006NO-0001562	20060406
NO----324230	B1	20070910		
PRAI 1999EP-0109295	A	19990527		
2000CN-0808135	A3	20000516		
2000EP-0935031	A3	20000516		
2004EP-0001441	A3	20000516		
2000WO-EP04376	W	20000516		
2002US-0979922	A3	20020408		

L28 ANSWER 14 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
AB 1-[4-(5-Cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)-piperazine (I) or a physiol. acceptable salt thereof is used for the manufacture of a medicament for the treatment of sub-type anxiety disorders chosen from the sub-types panic disorder with or without agoraphobia, obsessive-compulsive spectrum disorders, social phobia, post-traumatic stress disorder, acute stress indication or generalized-anxiety disorder, bipolar disorders, mania, dementia, substance-related disorders, sexual dysfunctions, eating disorders, obesity, anorexia and fibromyalgia. A preferred salt is I hydrochloride. For example, a mixture containing 1 kg I or a physiol. acceptable salt, 4 kg lactose, 1.2 kg potato starch, 0.2 kg talc, and 0.1 kg Mg stearate was tableted in the customary manner in such a way that each tablet comprises 10 mg of active ingredient.
IT 163521-12-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. of cyanoindolylbutyl(carbamoylbenzofuranyl)-piperazine and its salts for treatment of anxiety and related disorders)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



L28 ANSWER 15 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1996:689356 HCAPLUS
DN 125:328501
TI Preparation of 5-aminobenzofuran-2-carboxylates as drug intermediates
IN Bathe, Andreas; Helfert, Bernd; Boettcher, Henning; Schuster, Kurt
PA Merck Patent Gmbh, Germany
SO Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP----738722	A1	19961023	1996EP-0105701	19960411
EP----738722	B1	20030625		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
DE--19514567	A1	19961024	1995DE-1014567	19950420
EP---1215210	A2	20020619	2002EP-0006144	19960411
EP---1215210	A3	20020626		
EP---1215210	B1	20061018		
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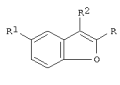
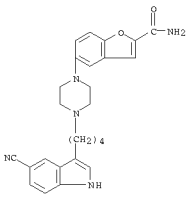
AT----243689	T	20030715	1996AT-0105701	19960411
PT----738722	T	20031128	1996PT-0105701	19960411
ES----2201143	T3	20040316	1996ES-0105701	19960411
AT----342893	T	20061115	2002AT-0006144	19960411
ES---2275765	T3	20070616	2002ES-0006144	19960411
CN---1140171	A	19970115	1996CN-0104983	19960416
AU---9650734	A	19961031	1996AU-0050734	19960417
AU----704495	B2	19990422		
RU---2159238	C2	20001120	1996RU-0107419	19960417
SK----284862	B6	20060105	1996SK-0000486	19960417
SK----285224	B6	20060907	2003SK-0000117	19960417
CA---2174494	A1	19961021	1996CA-2174494	19960418
NO----9601579	A	19961021	1996NO-0001579	19960419
ZA----9603155	A	19961025	1996ZA-0003155	19960419
JP--08291161	A	19961105	1996JP-0120781	19960419
JP---3874837	B2	20070131		
HU---9601033	A2	19971028	1996HU-0001033	19960419
US----5723614	A	19980303	1996US-0634825	19960419
CZ----294697	B6	20050216	1996CZ-0001131	19960419
US---5977112	A	19991102	1997US-0960459	19971029
JP2006290905	A	20061026	2006JP-0214860	20060807
PRAI 1995DE-1014567	A	19950420		
1996EP-0105701	A3	19960411		
1996JP-0120781	A3	19960419		
1996US-0634825	A3	19960419		
OS MARPAT 125:328501				
GI				



AB Title compds. [I; R = cyano, CO2H, alkoxycarbonyl, etc.; R1 = NH2, piperazino, (N-benzyl)piperazinyl, etc.] were prepared Thus, Et 5-nitrobenzofuran-2-carboxylate (preparation described) was converted in 5 steps to 5-piperazinobenzofuran-2-carboxamide.
IT 163521-12-8P
RL: PNU (Preparation, unclassified); PREP (Preparation)
(preparation of 5-aminobenzofuran-2-carboxylates as drug intermediates)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

L28 ANSWER 15 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L28 ANSWER 16 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN

AN 1995:586488 HCAPLUS

DN 123:9463

TI Preparation of (indolylalkyl)piperidines and -piperazines as drugs.

IN Boettcher, Henning; Seyfried, Christoph; Bartoszyk, Gerd ; Greiner, Hartmut

PA Merck Patent G.m.b.H., Germany

SO Ger. Offen., 12 pp.
CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE---4333254	A1	19950406	1993DE-4333254	19930930
EP----648767	A1	19950419	1994EP-0114798	19940920
EP----648767	B1	19970528		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT----153663	T	19970615	1994AT-0114798	19940920
ES---2105454	T3	19971016	1994ES-0114798	19940920
AU---9474244	A	19950413	1994AU-0074244	19940927
AU----679774	B2	19970710		
CN---1106811	A	19950816	1994CN-0116585	19940927
CN---1056610	B	20000920		
CA---2133152	C	19950331	1994CA-2133152	19940928
CA---2133152	A1	19950331		
JP--07149762	A	19950613	1994JP-0233538	19940928
PL----178137	B1	20000331	1994PL-0305216	19940928
CZ----293558	B6	20040616	1994CZ-0002370	19940928
NO---9403616	A	19950331	1994NO-0003616	19940929
NO----306948	B1	20000117		
ZA---9407622	A	19950516	1994ZA-0007622	19940929
HU----71833	A2	19960228	1994HU-0002806	19940929
HU----218918	B	20001228		
US---5532241	A	19960702	1994US-0314734	19940929
RU---2132848	C1	19990710	1994RU-0035660	19940929
SK----281793	B6	20010806	1994SK-0001184	19940929
JP2007119502	A	20070517	2007JP-0034671	20070215
PRAI 1993DE-4333254	A	19930930		
1994JP-0233538	A3	19940928		

OS MARPAT 123:9463

GI

I

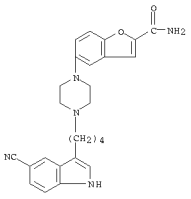
AB Title compds. [I; X = (HO-, alkoxy-, cyano-, halo-, R2CO-, R2CH2-substituted) 3-indolyl; R1 = (cyano-, HOCH2-, alkoxymethyl-, R2CO-substituted) benzofuran-5-yl, 2,3-dihydrobenzofuran-5-yl, chroman-6-yl, chroman-4-on-5-yl, 3-chromen-6-yl, chromen-4-on-6-yl; Q = (CH2)m; Z = N, CR3; R2 = OH, alkoxy, amino; R3 = H, OH, alkoxy; m = 2-4], were prepared having 5-HT1A agonist activity, etc. (no data). Thus, 3-(4-chlorobutyl)-5-methoxyindole and 1-(2-hydroxymethylbenzofuran-5-yl)piperazine were refluxed in MeCN to give 1-[4-(5-methoxyindol-3-yl)butyl]-4-(2-hydroxymethylbenzofuran-5-yl)piperazine.

IT 163521-12-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (indolylalkyl)piperidines and -piperazines as drugs)

RN 163521-12-8 HCAPLUS

CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

L28 ANSWER 16 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



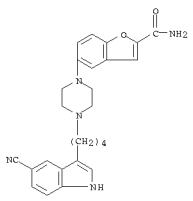
=> d bib abs hitstr l29 tot

L29 ANSWER 1 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:1171443 HCAPLUS
DN 143:432676
TI New pharmaceutical compositions for the treatment of sexual disorders
IN Mendla, Klaus; Pyke, Robert; Eisenreich, Wolfram; Friedl, Thomas
PA Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharmaceuticals, Inc.; Boehringer Ingelheim Pharma GmbHH & Co. KG
SO PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2005102342	A1	20051103	2005WO-EP04081	20050418 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU2005235422	A1	20051103	2005AU-0235422	20050418 <--
	CA---2563743	A1	20051103	2005CA-2563743	20050418 <--
	EP---1740181	A1	20070110	2005EP-0736586	20050418 <--
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
	CN---1946404	A	20070411	CN 2005-80012692	20050418 <--
	BR2005010074	A	20071016	2005BR-0010074	20050418 <--
	JP2007533686	T	20071122	2007JP-0508810	20050418 <--
	US2005245539	A1	20051103	2005US-0110449	20050420 <--
	IN2006DN06048	A	20070427	2006IN-DN06048	20061017 <--
	MX2006PA12059	A	20070125	2006MX-PA12059	20061018 <--
	KR2007014184	A	20070131	2006KR-0724443	20061121 <--
PRAI	2004US-564662P	P	20040422	<--	
	2004US-631800P	P	20041130		
	2005WO-EP04081	W	20050418		

OS MARPAT 143:432676
AB The invention relates to new pharmaceutical compns. for the treatment of sexual disorders and methods for the preparation thereof. In a preferred embodiment, the instant invention is directed to pharmaceutical combinations comprising flibanserin as one active ingredient in combination with at least one addnl. active ingredient for the treatment of sexual disorders and methods for the preparation thereof.
IT 163521-12-8, Vilazodone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(new pharmaceutical compns. for treatment of sexual disorders)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

L29 ANSWER 1 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

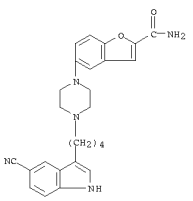


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 2 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:1004550 HCAPLUS
DN 143:311967
TI Compositions for treating psychiatric disorders with COX-2 inhibitors alone and in combination with antidepressant agents
IN Stephenson, Diane; Taylor, Duncan P.
PA Pharmacia Corporation, USA
SO PCT Int. Appl., 200 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2005084654	A2	20050915	2005WO-US06818	20050302 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA---2556380	A1	20050915	2005CA-2556380	20050302 <--
	EP---1725222	A2	20061129	2005EP-0724377	20050302 <--
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	BR2005008254	A	20070724	2005BR-0008254	20050302 <--
	JP2007526328	T	20070913	2007JP-0501959	20050302 <--
	MX2006PA09919	A	20061116	2006MX-PA09919	20060831 <--
PRAI	2004US-549281P	P	20040302	<--	
	2005WO-US06818	W	20050302		

AB The present invention relates to a novel method of treating and/or preventing psychiatric disorders in a subject by administering to the subject at last one Cox-2 inhibitor alone or in combination with one or more antidepressant agents. Compns., pharmaceutical compns. and kits are also described. Thus, celecoxib was prepared starting from 4'-methylacetophenone and ethyltrifluoroacetate followed by reaction with 4-sulfonamidophenylhydrazine. A composition is obtained by mixing sertraline and celecoxib.
IT 163521-12-8, Vilazodone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. for treating psychiatric disorders with COX-2 inhibitors alone and in combination with antidepressant agents)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



L29 ANSWER 3 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:673104 HCAPLUS
DN 143:146710
TI Weak to average strength opioids or their combinations containing antidepressants for the treatment of depressions and anxiety disorders
IN Bloms-Funke, Petra; Tzschentke, Thomas
PA Gruenenthal G.m.b.H., Germany
SO PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2005067916	A1	20050728	2005WO-EP00255	20050113 <--
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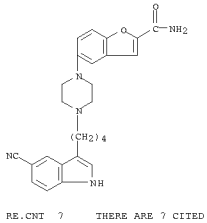
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE102004011392	A1	20050804	DE 2004-102004011392	20040305 <--
PRAI	DE 2004-102004001968	A	20040113	<--	
	DE 2004-102004011392	A	20040305	<--	

AB The invention relates to weak to average strength opioids or combinations of said opioids containing antidepressants for the treatment of depressions and anxiety disorders, in addition to a method for treating depressions and anxiety disorders. The following combinations were tested on rats in the elevated plus maze test: tilidine with nisoxetine, tilidine with venflaxine and pethidin with nisoxetine.
IT 163521-12-8, Vilazodone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(weak to average strength opioids or their combinations containing antidepressants for treatment of depressions and anxiety disorders)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



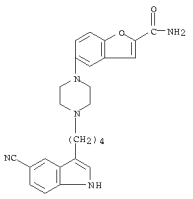
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 4 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:547557 HCAPLUS
DN 143:53543
TI The combination of a serotonin reuptake inhibitor and a histamine 3 receptor antagonist, inverse agonist or partial agonist, and therapeutic use thereof
IN Cremers, Thomas Ivo Franciscus Hubert; Hogg Willigers, Sandra
PA H. Lundbeck A/S, Den.
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2005056056	A2	20050623	2004WO-DK00862	20041214 <--
	WO2005056056	A3	20060202		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU2004296531	A1	20050623	2004AU-0296531	20041214 <--
	CA---2549574	A1	20050623	2004CA-2549574	20041214 <--
	EP---1696896	A2	20060906	2004EP-0803015	20041214 <--
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	BR2004015899	A	20070109	2004BR-0015899	20041214 <--
	CN---1893935	A	20070110	CN 2004-80037386	20041214 <--
	JP2007513896	T	20070531	2006JP-0543369	20041214 <--
	MX2006PA05127	A	20060711	2006MX-PA05127	20060508 <--
	NO2006003267	A	20060713	2006NO-0003267	20060713 <--
	US2007066601	A1	20070322	2006US-0596348	20060714 <--
PRAI	2003DK-0001854	A	20031215	<--	
	2003US-529491P	P	20031215	<--	
	2004WO-DK00862	W	20041214		

AB The invention discloses the use of a serotonin reuptake inhibitor and a H3 receptor antagonist, inverse agonist or partial agonist for the preparation of a pharmaceutical composition for the treatment of depression, anxiety disorders and other affective disorders, such as generalized anxiety disorder, panic anxiety, obsessive compulsive disorder, acute stress disorder, post traumatic stress disorder and social anxiety disorder, eating disorders such as bulimia, anorexia and obesity, phobias, dysthymia, premenstrual syndrome, cognitive disorders, impulse control disorders, attention deficit hyperactivity disorder, drug abuse or any other disorder responsive to serotonin reuptake inhibitor.
IT 163521-12-8, Vilazodone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination of serotonin reuptake inhibitor and H3 receptor antagonist, inverse agonist or partial agonist, and therapeutic use)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

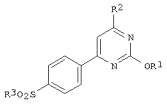
L29 ANSWER 4 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L29 ANSWER 5 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:471926 HCAPLUS
DN 143:26625
TI Preparation of pyridines, pyrimidines, and pyrazolopyridazines as cyclooxygenase-2 inhibitors for the treatment of depressive disorders.
IN Hagan, James Joseph; Ratti, Emiliangelo; Routledge, Carol
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2005048999	A2	20050602	2004WO-EP13070	20041117 <--
	WO2005048999	A3	20051103		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP---1687000	A2	20060809	2004EP-0797973	20041117 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS				
	JP2007511569	T	20070510	2006JP-0540317	20041117 <--
	US2007270428	A1	20071122	2007US-0595800	20070221 <--
PRAI	2003GB-0026967	A	20031119	<--	
	2003GB-0027937	A	20031202	<--	
	2004GB-0001862	A	20040128	<--	
	2004WO-EP13070	W	20041117		

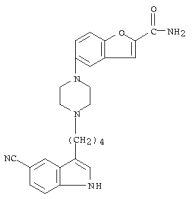
OS CASREACT 143:26625; MARPAT 143:26625
GI



I

AB Use of title compds. e.g. [I; R1 = H, alkyl, fluoroalkyl, alkenyl, alkynyl, cycloalkylalkyl, bridged cycloalkyl, etc.; R2 = fluoroalkyl; R3 = alkyl, amino, carboxamide] for preparation of a medicament for treatment of depressive disorders is claimed. Thus, a mixture of 4-methylthioacetophenone and Me trifluoroacetate in MeOCMe3 was treated over 30 min. with NaOMe in MeOH followed by heating at 40° for ≥3 h. AcOH and S-Me 2-thiopseudourea were added followed by concentration and heating at 110° overnight. AcOH was added and the mixture was cooled to 50° followed by addition of aqueous Na tungstate and then 30% H2O2 over 3 h. followed by heating at 50° for ≥12 h. The mixture was cooled to 20° and aqueous Na sulfite was added over ≥30 min. followed by aging for 1 h to give 90% 2-methylsulfonyl-4-[4-(methylsulfonyl)phenyl]-6-trifluoromethylpyrimidine. The latter was heated overnight with K2CO3 in MeOH at 50° to give 88.4% 2-butoxy-4-[4-(methylsulfonyl)phenyl]-6-trifluoromethylpyrimidine (II). In the chronic inescapable shock in rats model, II at 10 mg/kg orally with paroxetine 5 mg/kg orally gave a full reversal of the chronic escape deficit.
IT 163521-12-8, EMD 68843
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coadministration; preparation of pyridines, pyrimidines, and

L29 ANSWER 5 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
pyrazolopyridazines as cyclooxygenase-2 inhibitors for the treatment of depressive disorders)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

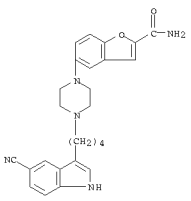


L29 ANSWER 6 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:177917 HCAPLUS
DN 142:274044
TI The combination of a serotonin reuptake inhibitor and a glycine transporter type 1 (GlyT-1) inhibitor for the treatment of depression, anxiety, and other affective disorders
IN Didriksen, Michael; Hogg Willigers, Sandra; Arnt, Jorn
PA H. Lundbeck A/S, Den.
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2005018676	A1	20050303	2004WO-DK00547	20040818 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU2004266057	A1	20050303	2004AU-0266057	20040818 <--
	CA---2536275	A1	20050303	2004CA-2536275	20040818 <--
	EP---1660130	A1	20060531	2004EP-0739042	20040818 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	BR2004013587	A	20061017	2004BR-0013587	20040818 <--
	CN---1867358	A	20061122	CN 2004-80030453	20040818 <--
	JP2007502785	T	20070215	2006JP-0523530	20040818 <--
	IN2006CN00613	A	20070622	2006IN-CN00613	20060220 <--
	MX2006PA02002	A	20060517	2006MX-PA02002	20060221 <--
	NO2006001167	A	20060313	2006NO-0001167	20060313 <--
	US2006223857	A1	20061005	2006US-0568133	20060509 <--
PRAI	2003DK-0001198	A	20030821	<--	
	2003US-496738P	P	20030821	<--	
	2004WO-DK00547	W	20040818		

AB The invention discloses the use of a compound which is a serotonin reuptake inhibitor and a compound, which is a GlyT-1 inhibitor for the preparation of a pharmaceutical composition for the treatment of depression, anxiety disorders, and other affective disorders. In particular the invention relates to treatment of depression, anxiety disorders ,and other affective disorders, e.g. generalized anxiety disorder, panic anxiety, obsessive compulsive disorder, acute stress disorder, post traumatic stress disorder and social anxiety disorder, eating disorders such as bulimia, anorexia and obesity, phobias, dysthymia, premenstrual syndrome, cognitive disorders, impulse control disorders, attention deficit hyperactivity disorder, drug abuse or any other disorder responsive to serotonin reuptake inhibitors. The invention also discloses a pharmaceutical composition comprising a serotonin reuptake inhibitor and a GlyT-1 inhibitor.
IT 163521-12-8, Vilazodone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(serotonin reuptake inhibitor-glycine transporter type 1 inhibitor combination for treatment of depression, anxiety, and other affective disorders)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

L29 ANSWER 6 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

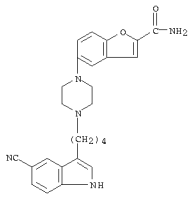


RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 7 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:136555 HCAPLUS
DN 142:212407
TI Selective serotonin reuptake inhibitors for the treatment of premature female orgasm
IN May, Kathryn Elizabeth; Quinn, Paul
PA Pfizer Limited, UK; Pfizer Inc.
SO PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2005013984	A1	20050217	2004WO-IB02524	20040727 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US2005054688	A1	20050310	2004US-0911806	20040805 <--
PRAI	2003GB-0018706	A	20030808	<--	
	2003US-528136P	P	20031209	<--	

AB The present invention provides selective serotonin reuptake inhibitors (SSRIs) and their use in the preparation of a medicament for the treatment or prevention of premature female orgasm. For example, a tablet formulation contained SSRI compound 10.0%, lactose 64.125%, starch 21.375%, Croscarmellose sodium 3.0%, and magnesium stearate 1.5%.
IT 163521-12-8, Vilazodone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. of selective serotonin reuptake inhibitors for treatment of premature female orgasm)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

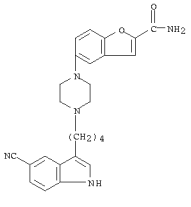


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

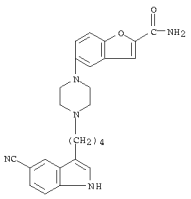
L29 ANSWER 8 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:452952 HCAPLUS
DN 141:1296
TI Method of using a cyclooxygenase 2 (COX-2) inhibitor and a 5-HT1A receptor modulator as a combination therapy for pain, inflammation, and other conditions
IN Stephenson, Diane T.; Taylor, Duncan P.
PA Pharmacia Corporation, USA
SO PCT Int. Appl., 195 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2004045509	A2	20040603	2003WO-US35739	20031111 <--
	WO2004045509	A3	20040826		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US2004147581	A1	20040729	2003US-0702403	20031105 <--
	AU2003295431	A1	20040615	2003AU-0295431	20031111 <--
PRAI	2002US-427198P	P	20021118	<--	
	2003WO-US35739	W	20031111	<--	

AB Compns. and methods to treat or prevent pain, inflammation, or inflammation-related disorder, as well as a neurol. disorder involving neurodegeneration involve a combination of a COX-2 inhibitor and a 5-HT1A receptor modulator.
IT 163521-12-8, Vilazodone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(COX2 inhibitor-5-HT1A modulator combination for treatment of pain, inflammation, and other conditions)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



L29 ANSWER 9 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:371979 HCAPLUS
DN 140:368059
TI Phase I and II enzyme characterization of two sources of HepG2 cell lines
AU Hewitt, N. J.; Hewitt, P.
CS In Vitro Technologies, Baltimore, MD, 21227, USA
SO Xenobiotica (2004), 34(3), 243-256
CODEN: XENOBH; ISSN: 0049-8254
PB Taylor & Francis Ltd.
DT Journal
LA English
AB The metabolism by HepG2 cell from two sources (M1, M2) of 12 substrates is reported: ethoxyresorufin, ethoxycoumarin, testosterone, tolbutamide, chlorzoxazone, dextromethorphan, phenacetin, midazolam, acetaminophen, hydroxycoumarin, p-nitrophenol and 1-chloro-2,4-dinitrobenzene (CDNB), and a pharmaceutical compound, EMD68843. Activities varied markedly. Some were present in M1 (CYP1A, CYP2C9, CYP2E1) but absent in M2. M1 had a more complete set of Phase I enzymes than M2. CYP1A2, CYP2C9, CYP2D6, CYP2E1 and CYP3A activities were present at levels similar to human hepatocytes. Phase II metabolism differed between M1 and M2. M1 conjugated hydroxycoumarin and p-nitrophenol to glucuronides only, whereas M2 produced sulfates. Glutathione conjugation of CDNB metabolism was 10-fold higher in M1 than in M2, but was still much lower than in human hepatocytes. CYP2E, CYP2C, CYP2B6 and CYP3A (but not CYP1A, glucuronyl S-transferase or S-transferase) were inducible in M1. Metabolites of EMD68843, produced by induced (but not uninduced) M1 were the same as those produced in human hepatocytes. In conclusion, HepG2 cells have both Phase I and II enzymes, which activities and at what levels depend on the source and culture conditions. Therefore, HepG2 cells routinely used in in vitro assays should be characterized for their drug-metabolizing capabilities before any results can be fully interpreted.
IT 163521-12-8, EMD68843
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(phase I and II metabolism of substrates by two sources of HepG2 cell lines)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



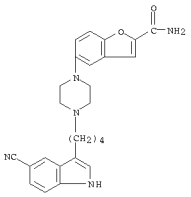
RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 10 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:2708 HCAPLUS
DN 140:53450
TI Serotonin reuptake inhibitor combination with a GABAB receptor antagonist for the treatment of depression and other disorders
IN Mork, Arne; Cremers, Thomas Ivo Franciscus Hubert; Willigers, Sandra
PA H. Lundbeck A/S, Den.
SO PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2004000326	A1	20031231	2003WO-DK00412	20030619 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA---2490638	A1	20031231	2003CA-2490638	20030619 <--
	CA---2579520	A1	20031231	2003CA-2579520	20030619 <--
	AU2003240434	A1	20040106	2003AU-0240434	20030619 <--
	BR2003011503	A	20050222	2003BR-0011503	20030619 <--
	EP---1545552	A1	20050629	2003EP-0729907	20030619 <--
	EP---1545552	B1	20070328		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LI, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	CN---1662246	A	20050831	2003CN-0814438	20030619 <--
	JP2005533069	T	20051104	2004JP-0514582	20030619 <--
	AT----357920	T	20070415	2003AT-0729907	20030619 <--
	ES----2282632	T3	20071016	2003ES-3729907	20030619 <--
	ZA2004009278	A	20060426	2004ZA-0009278	20041118 <--
	IN2004CN03184	A	20060303	2004IN-CN03184	20041213 <--
	MX2004PA12693	A	20050323	2004MX-PA12693	20041215 <--
	NO2004005552	A	20041220	2004NO-0005552	20041220 <--
	US2005288355	A1	20051229	2005US-0516519	20050725 <--
PRAI	2002DK-0000943	A	20020620	<--	
	2002US-2908519P	P	20020620	<--	
	2003CA-2490638	A3	20030619	<--	
	2003WO-DK00412	W	20030619	<--	

AB The invention relates to the use of a compound, which is a serotonin reuptake inhibitor, and another compound, which is a GABAB receptor antagonist, inverse agonist or partial agonist for the preparation of a pharmaceutical composition for the treatment of depression, anxiety disorders and other affective disorders, such as generalized anxiety disorder, panic anxiety, obsessive compulsive disorder, acute stress disorder, post traumatic stress disorder and social anxiety disorder, eating disorders such as bulimia, anorexia and obesity, phobias, dysthymia, premenstrual syndrome, cognitive disorders, impulse control disorders, attention deficit hyperactivity disorder, drug abuse or any other disorder responsive to serotonin reuptake inhibitors.
IT 163521-12-8, Vilazodone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(serotonin reuptake inhibitor combination with a GABAB receptor modulator for treatment of depression and other disorders)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

L29 ANSWER 10 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

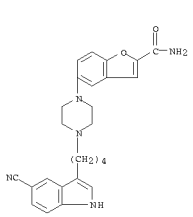


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 11 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2003:1006815 HCAPLUS
DN 140:35974
TI Treatment for depression and anxiety by the combination of a PDE IV inhibitor and an antidepressant or an anxiolytic agent
IN Sobolov-Jaynes, Susan Beth; Schmidt, Christopher Joseph
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2003105902	A1	20031224	2003WO-IB02295	20030605 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US2003235631	A1	20031225	2003US-0387060	20030312 <--
	CA---2488138	A1	20031224	2003CA-2488138	20030605 <--
	AU2003233032	A1	20031231	2003AU-0233032	20030605 <--
	EP---1517707	A1	20050330	2003EP-0727833	20030605 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LI, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR2003011903	A	20050607	2003BR-0011903	20030605 <--
	JP2005533788	T	20051110	2004JP-0512802	20030605 <--
	MX2004PA11835	A	20050331	2004MX-PA11835	20041126 <--
	IN2004CN03177	A	20060303	2004IN-CN03177	20041213 <--
PRAI	2002US-389181P	P	20020617	<--	
	2003WO-IB02295	W	20030605	<--	

OS MARPAT 140:35974
AB The present invention relates to a method of treating depression or anxiety in a mammal, including a human, by administering to the mammal a PDE IV inhibitor in combination with an antidepressant or an anxiolytic agent. It also relates to pharmaceutical comprns. containing a pharmaceutically acceptable carrier, a PDE IV inhibitor and an anxiolytic agent or antidepressant.
IT 163521-12-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment for depression and anxiety by combination of a PDE IV inhibitor and an antidepressant or an anxiolytic agent)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

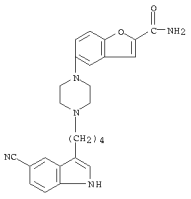


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 11 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L29 ANSWER 12 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:659259 HCAPLUS
DN 138:248340
TI Behavioral and neurochemical effects of 5-{4-[4-((5-cyano-3-indolyl)butyl)butyl]-1-piperazinyl}benzofuran-2-carboxamide (EMD 68843): a combined selective inhibitor of serotonin reuptake and 5-hydroxytryptamine1A receptor partial agonist
AU Page, Michelle E.; Cryan, John F.; Sullivan, Arthur; Dalvi, Ashutosh; Saucy, Berangere; Manning, David R.; Lucki, Irwin
CS Department of Psychiatry, University of Pennsylvania, Philadelphia, PA, USA
SO Journal of Pharmacology and Experimental Therapeutics (2002), 302(3), 1220-1228
CODEN: JPETAB; ISSN: 0022-3565
PB American Society for Pharmacology and Experimental Therapeutics
DT Journal
LA English
AB EMD 68843 (vilazodone) is a novel compound with combined high affinity and selectivity for the 5-hydroxytryptamine (5-HT) transporter and 5-HT1A receptors. EMD 68843 was tested as a prototype compound, which benefits from dual pharmacol. effects that could increase extracellular 5-HT to levels higher than those produced by conventional selective serotonin reuptake inhibitors (SSRIs). In Sf9 cells, EMD 68843 increased guanosine 5'-O-(3-[35S]thiotriphosphate) binding to 69% of the magnitude of the full 5-HT1A receptor agonist R-(1)-trans-8-hydroxy-2-(N-n-propyl-N-(39-iodo-29-propenyl)]aminotetralin (8-OH-PIPAT), indicating that it is a partial agonist at 5-HT1A receptors. Acute, systemic administration of EMD 68843 produced a larger maximal increase of extracellular 5-HT than the SSRI fluoxetine in both the ventral hippocampus (HPv) (558 vs. 274%) and the frontal cortex (FC) (527 vs. 165%). Regional differences in the response to the two drugs were also observed These effects may be attributed to the differential regulation of 5-HT release in the HPv and FC by 5-HT1A autoreceptors. When challenged with the 5-HT1A receptor agonist 8-hydroxy-2-(dipropylamino)tetralin (8-OH-DPAT), EMD 68843-induced increases in extracellular 5-HT were greatly reduced in the HPv but to a lesser extent in the FC. In behavioral studies, EMD 68843 produced antidepressant-like effects in the forced swimming test in both rats and mice but only within a narrow dosage range. Like fluoxetine, EMD 68843 did not produce the symptoms of the 5-HT behavioral syndrome in rats but, unlike fluoxetine, pretreatment with EMD 68843 blocked expression of the 5-HT behavioral syndrome induced by 8-OH-DPAT. Taken together, the results show that EMD 68843 augments extracellular 5-HT levels in forebrain regions to a greater extent than fluoxetine. At higher doses, however, weak efficacy of EMD 68843 at postsynaptic 5-HT1A receptors may inhibit the expression of rodent antidepressant-like behaviors.
IT 163521-12-8, Vilazodone
RL: PAC (Pharmacological activity); BIOL (Biological study)
(behavioral and neurochem. effects of EMD 68843, a combined selective inhibitor of serotonin reuptake and 5-HTA receptor partial agonist)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

L29 ANSWER 12 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 13 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2001:713143 HCAPLUS
DN 135:251990
TI Compounds with 5-HT1A agonist activity useful for controlling glaucoma-associated visual field loss
IN Collier, Robert J., Jr.; Hellberg, Mark R.; Dean, Thomas R.
PA Alcon Universal Ltd., Switz.
SO PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2001070230	A2	20010927	2001WO-US05740	20010223 <--
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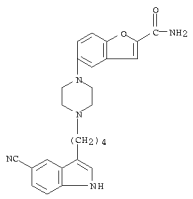
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	CA---2399985	A1	20010927	2001CA-2399985	20010223 <--
	EP---1267878	A2	20030102	2001EP-0914447	20010223 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
	BR2001009230	A	20030603	2001BR-0009230	20010223 <--
	JP2003527427	T	20030916	2001JP-0568428	20010223 <--
	PT---1263504	T	20031231	2001PT-0918208	20010223 <--
	ES---2204848	T3	20040501	2001ES-1918208	20010223 <--
	TW---268777	B	20061221	TW 2001-90106235	20010316 <--
	ZA2002006350	A	20030808	2002ZA-0006350	20020808 <--
	US2003119846	A1	20030626	2002US-0221056	20020909 <--
	MX2002PA09073	A	20030312	2002MX-PA09073	20020917 <--
PRAI	2000US-190279P	P	20000317	<--	
	2001WO-US05740	W	20010223	<--	

AB Compds. with 5-HT1A agonist activity, e.g. buspirone, are disclosed which are useful for controlling the visual field loss associated with glaucoma. Ophthalmic formulations are included.
IT 163521-12-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(5-HT1A agonist for controlling glaucoma-associated visual field loss, and use with other agents)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



L29 ANSWER 14 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2001:713135 HCAPLUS
DN 135:251988
TI Compounds with 5-HT1a agonist activity useful for treating disorders of the outer retina
IN Collier, Robert J., Jr.; Kapin, Michael A.; Hellberg, Mark R.; Dean, Thomas R.
PA Alcon Universal Ltd., Switz.
SO PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2001070222	A2	20010927	2001WO-US05700	20010223 <--
	WO2001070222	A3	20020725		
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	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	CA---2400639	A1	20010927	2001CA-2400639	20010223 <--
	EP---1263504	A2	20021211	2001EP-0918208	20010223 <--
	EP---1263504	B1	20030820		
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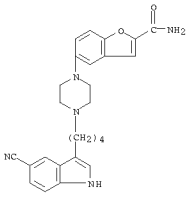
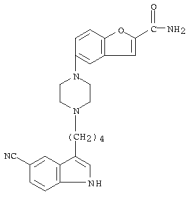
	BR2001009211	A	20030211	2001BR-0009211	20010223 <--
	AT----247507	T	20030915	2001AT-0918208	20010223 <--
	JP2003527422	T	20030916	2001JP-0568420	20010223 <--
	PT---1263504	T	20031231	2001PT-0918208	20010223 <--
	ES---2204848	T3	20040501	2001ES-1918208	20010223 <--
	TW----268777	B	20061221	TW 2001-90106235	20010316 <--
	ZA2002006350	A	20030808	2002ZA-0006350	20020808 <--
	US2003207890	A1	20031106	2002US-0221070	20020909 <--
	KR----749191	B1	20070813	2002KR-0712170	20020916 <--
	MX2002PA09072	A	20030312	2002MX-PA09072	20020917 <--
	HK---1051504	A1	20040423	2003HK-0103444	20030515 <--
	AU2005202600	A1	20050707	2005AU-0202600	20050615 <--
	US2005256129	A1	20051117	2005US-0187474	20050722 <--
PRAI	2000US-190279P	P	20000317	<--	
	2001WO-US05700	W	20010223	<--	
	2002US-0221070	A1	20020909	<--	

AB Compns. and methods are disclosed for treating disorders of the outer retina with compds. with 5-HT1A agonist activity, e.g. buspirone.
IT 163521-12-8, EMD-68843
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(5-HT1a agonist for treating disorder of outer retina)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



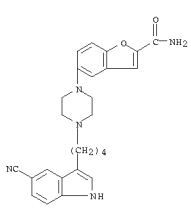
L29 ANSWER 14 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L29 ANSWER 15 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2001:576904 HCAPLUS
DN 135:352641
TI Distinct temporal pattern of the effects of the combined serotonin-reuptake inhibitor and 5-HT1A agonist EMD 68843 on the sleep EEG in healthy men
AU Murck, H.; Frieboes, R. M.; Antonijevic, I. A.; Steiger, A.
CS Max Planck Institute of Psychiatry, Munich, 80804, Germany
SO Psychopharmacology (Berlin, Germany) (2001), 155(2), 187-192
CODEN: PSCHDL; ISSN: 0033-3158
PB Springer-Verlag
DT Journal
LA English
AB EMD 68843 (EMD) has properties of a serotonin (5-HT)-reuptake inhibitor and a partial 5-HT1A agonist in 1 mol. to combine antidepressive and anxiolytic properties. The authors investigated the effects of EMD on the sleep EEG to characterize how the complex interaction between these 2 properties influences the sleep EEG. The authors performed a randomized crossover study in 10 young normal male controls (20-30 yr), receiving a single dose of 20 mg EMD or placebo orally at 2100 h. Sleep EEG was recorded from 23.00 to 07.00 h. After EMD, rapid eye movement (REM) sleep was nearly totally abolished. In the course of the night other effects on the sleep EEG occurred in distinct intervals. Slow wave sleep and EEG delta power increased in the 1st and 3rd one-third of the night, whereas wakefulness was enhanced in the 2nd and 3rd one-third of the night. The sleep EEG effects of EMD fit with its pharmacol. profile, which might lead to adaptive changes suggested to characterize an antidepressive substance.
IT 163521-12-8, EMD 68843
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(EMD 68843 on sleep EEG in healthy men)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 16 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2000:605068 HCAPLUS
DN 134:292112
TI Drug action at the 5-HT1A receptor in vivo: autoreceptor and postsynaptic receptor occupancy examined with PET and [carbonyl-11C]WAY-100635
AU Rabiner, E. A.; Gunn, R. N.; Wilkins, M. R.; Sargent, P. A.; Mocaer, E.; Sedman, E.; Cowen, P. J.; Grasby, P. M.
CS Hammersmith Hospital, MRC Cyclotron Unit, Imperial College School of Medicine, London, UK
SO Nuclear Medicine and Biology (2000), 27(5), 509-513
CODEN: NMBIEO; ISSN: 0969-8051
PB Elsevier Science Inc.
DT Journal
LA English
AB Serotonin1A (5-HT1A) receptors have been implicated in the pathophysiol. and treatment of anxiety and depression and are a target for novel drug development. In this qual. study, positron emission tomog. (PET) and [carbonyl-11C]WAY-100635 were used to assess 5-HT1A autoreceptor and postsynaptic receptor occupancy in man in vivo by five different compds. with nanomolar affinity for this site. Occupancy by pindolol, penbutolol, buspirone, EMD 68843, and S 15535 was compared to test-retest data from 10 healthy volunteers. All drugs, apart from buspirone, displayed occupancy at the 5-HT1A receptor site. Pindolol demonstrated a preferential occupancy at the autoreceptor compared to the postsynaptic receptor over a plasma range of about 10-20 ng/mL. Differential occupancy may be an important component of novel drug action. The level of autoreceptor or postsynaptic occupancy needed to achieve significant physiol. effects is not known, although it is of note that none of the drugs in this study achieved occupancies beyond 60%. Overall this study demonstrates the utility of PET in aiding novel drug development.
IT 163521-12-8, EMD 68843
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(drug action at 5-HT1A receptor in vivo: autoreceptor and postsynaptic receptor occupancy examined with PET and [carbonyl-11C]WAY-100635)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 17 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2000:98327 HCAPLUS
DN 132:146650
TI Treating depression with a combination of a serotonin uptake inhibitor, a 5-HT1A presynaptic antagonist, and a 5-HT1A agonist
IN Depoortere, Henri
PA Sanofi-Synthelabo, Fr.
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA French
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2000006160	A1	20000210	1999WO-FR01825	19990726 <--
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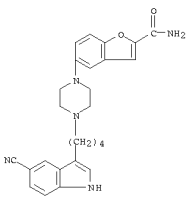
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR---2781671	A1	20000204	1998FR-0009603	19980728 <--
AU---9949167	A1	20000221	1999AU-0049167	19990726 <--
PRAI 1998FR-0009603	A	19980728 <--		
1999WO-FR01825	W	19990726 <--		

AB Pharmaceutical compns. are provided which contain a serotonin uptake inhibitor (e.g. fluoxetine), a 5-HT1A presynaptic antagonist (e.g. pindolol), and a 5-HT1A agonist (e.g. buspirone) as a combination product for simultaneous, sep., or prolonged use for treating various forms of depression.
IT 163521-12-8, EMD 68843
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(serotonin uptake inhibitor-5-HT1A presynaptic antagonist-5-HT1A agonist combination for treatment of depression)
RN 163521-12-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L19           43 E3-4
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L20           17 E3-5
                 E VAN AMSTERDAM C/AU
L21           53 E3-6
                 E BARTOSZYK G/AU
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L23           44 E3-6
                 E SEYFRIED C/AU
L24          114 E3-6
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L25          116 E3-5
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